Connecting via Winsock to STN

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* * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:36:08 ON 30 JUL 2008

=> file reg

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Uploading C:\Program Files\Stnexp\Queries\10522058.str

```
17 18 19 20 21 ring nodes:
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 chain bonds:
11-19 12-20 15-17 15-21 16-18 ring bonds:
11-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 exact/norm bonds:
1-2 1-6 2-3 3-4 4-5 11-12 11-16 11-19 12-13 12-20 13-14 14-15 15-16 15-17 15-12 11-16 11-16 11-16 11-16
```

Page 1

chain nodes :

10/522058

normalized bonds : 5-6 5-7 6-10 7-8 8-9 9-10 isolated ring systems : containing 1 : 11 :

G1:C,N

Match level: 1:14tom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 19:CLASS 19:CLASS 20:CLASS 21:CLASS 21:

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR





Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam FULL FILE PROJECTIONS: ONLINE **COMPLETE** PROJECTED ITERATIONS: 114159 TO 123401 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full

18 SEA SSS FUL L1

=> d scan

18 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN L3

2-Cyclohexene-1-carboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7y1)methy1]amino]-N-(5-fluoro-6-methoxy-4-quinoliny1)-1-hydroxy-, (1R, 4S)-rel-

ME C25 H25 F N4 O5

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> file ca

=> s 13 L4 1 L3

=> d ibib abs fhitstr

L4 ANSWER 1 OF 1 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 140:199210 CA

TITLE: Preparation of aminocyclohexene-substituted quinolines and their azaisosteric analogues with antibacterial

activity INVENTOR(S): Davies, David Thomas; Elder, John Stephen; Forrest,

Andrew Keith; Jarvest, Richard Lewis; Pearson, Neil

David; Sheppard, Robert John

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2 DOCUMENT TYPE:

Patent LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | KIND DATE | APPLICATION NO. | | | |
|--|---|---|--|--|--|
| | A1 20040219 | WO 2003-EP8153 | | | |
| CO, CR, CU,
GM, HR, HU,
LS, LT, LU,
PG, PH, PL,
TR, TT, TZ,
RW: GH, GM, KE, | CZ, DE, DK, DM, ID, IL, IN, IS, LV, MA, MD, MG, PT, RO, RU, SC, UA, UG, US, UZ, LS, MW, MZ, SD, | BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KF, KR, MK, MN, MW, MZ, SD, SE, SG, SK, SL, VC, VN, YU, ZA, ZM, SL, SZ, TZ, UG, ZM, | GB, GD, GE, GH, KZ, LC, LK, LR, NI, NO, NZ, OM, SY, TJ, TM, TN, ZW ZW, AM, AZ, BY, | | |
| FI, FR, GB, | GR, HU, IE, IT, | BE, BG, CH, CY, CZ,
LU, MC, NL, PT, RO,
GN, GO, GW, ML, MR, | SE, SI, SK, TR, | | |
| AU 2003251474 | A1 20040225 | AU 2003-251474 | 20030723 | | |
| EP 1539133 | | EP 2003-784064 | | | |
| EP 1539133 | | | | | |
| IE, SI, LT,
JP 2005538125
AT 336995
ES 2270142 | LV, FI, RO, MK,
T 20051215
T 20060915
T3 20070401 | GB, GR, IT, LI, LU,
CY, AL, TR, BG, CZ,
JP 2004-526773
AT 2003-784064
ES 2003-784064
US 2005-522058 | EE, HU, SK
20030723
20030723
20030723 | | |
| PRIORITY APPLN. INFO.: OTHER SOURCE(S): GI | | GB 2002-17294
WO 2003-EP8153 | A 20020725 | | |

$$\begin{array}{c|c} \text{AB}\left(\text{CH}_2\right) \\ \text{R1} \\ \text{Z2} \\ \text{Z2} \\ \text{Z4} \end{array}$$

AB Title compds. I [one of Z1-5 = N, one = CRla and the remainder are CH, etc.; Rl-la = H, OH, (un) substituted alkoxy, etc.; R2 = H, (un) substituted-alkyl, -alkenyl; R3 = OH, alkoxy, alkenyloxy, etc.; R4 = alkyl, hydroxyalkyl, alkoxyalkyl, heterocycle, etc.; n = 0-1; AB = amido, carboxamido, acyl, etc.] and there pharmaceutically acceptable salts are prepd and disclosed as antibacterial agents. For instance, 4-amino-1-hydroxycyclohex-2-enecarboxylic acid N-(6-

methoxy[1,5]naphthyridin-4-yl)amide (preparation given) is reductively alkylated with $3-\infty$ ox-3, 4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxaldehyde to give II. II possessed an MIC of \leq 2 µg/MI. against S epidermidis CL7, S. aureus WCUH29, S. pneumoniae 1629, S. pyogenes CNIO, H. influenzae ATCC 49247, E. faecalis 2, M. catarrhalis Ravasio, and E. coli 7623.

T 661462-91-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes)

(preparation of aminocyclohexene-substituted quinolines and their azaisosteric analogs with antibacterial activity)

RN 661462-91-5 CA

Relative stereochemistry.

=> file marpat

=> s 11 full

FULL SEARCH INITIATED 11:37:06 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 38348 TO ITERATE

98.9% PROCESSED 37912 ITERATIONS

3 ANSWERS

100.0% PROCESSED 38348 ITERATIONS SEARCH TIME: 00.00.24 3 ANSWERS

L5 3 SEA SSS FUL L1

=> d ibib abs fghit 1-3

L5 ANSWER 1 OF 3 MARPAT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 146:100974 MARPAT

TITLE: Preparation of cyclitol glycosaminoglycan mimetics as antiviral agents

INVENTOR(S): Banwell, Martin Gerhardt; Bonnet, Muriel; Ferro, Vito; Kreipl, Andreas Th.; Renner, Jens; Offermann, Daniel

Andrew
PATENT ASSIGNEE(S): Progen Industries Limited, Australia; The Australian

National University

GT

SOURCE: PCT Int. Appl., 105pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| TENT I | NFORI | ITA | ON: | | | | | | | | | | | | | | | |
|---------|-------|------|-----|-----|-----|------|------|-----|-----|------|------|------|-----|------|------|-----|-----|--|
| PAT | ENT 1 | 10. | | KI | ND | DATE | | | Al | PPLI | CATI | и ис | э. | DATE | | | | |
| WO | 2006: | 1359 | 73 | A | 1 | 2006 | 1228 | | W | 20 | 06-A | U871 | | 2006 | 0621 | | | |
| | W: | | | | | | | | | | | | | BY, | | | | |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, | |
| | | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, | |
| | | MW, | MX, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RS, | RU, | |
| | | SC, | SD, | SE. | SG, | SK, | SL, | SM. | SY, | TJ, | TM. | TN. | TR. | TT, | TZ, | UA, | UG, | |
| | | US, | UZ, | VC. | VN. | ZA. | ZM. | ZW | | | | | | | | | | |
| | RW: | AT. | BE. | BG. | CH. | CY. | CZ. | DE. | DK. | EE. | ES. | FI. | FR. | GB, | GR. | HU. | IE. | |
| | | | | | | | | | | | | | | SK, | | | | |
| | | | | | | | | | | | | | | TD, | | | | |
| | | | | | | | | | | | | | | ZW, | | | | |
| | | | KZ. | | | | | , | , | , | , | , | , | , | , | , | , | |
| RIORITY | APP | | | | , | , | | | A | U 20 | 05-9 | 0328 | 0 | 2005 | 0622 | | | |

AB Cyclitol glycosaminoglycan mimetics I and II, wherein X is O, S, N, bond; Y is independently O, bond; R is H, CO2M, SO3M, wherein M is any pharmaceutically acceptable cation; both YR together, where Y is O, form a bridging acetal or ketal molety bearing alkyl, aryl, arylalkyl; RI is H, halo, CO2M, alkyl aryl; RZ is H, SO3M, CO2M, alkyl, aryl, arylalkyl, acyl; R3 is alkyl, aryl; R4 is H, alkyl, aryl; R3 and R4 are linked through a common alkyl, aryl, were prepared and tested in vitro as antiviral agents.

Thus, pyrrole cyclitol III was prepared as antiviral agent for the prevention or treatment in human of a disorder resulting from angiogenesis, metastasis, inflammation, coagulation, thrombosis, and/or microbial infection. Selected title compds. were tested against two types of herpes simplex virus HSV-1 and HSV-2 and as heparanase Inhibitor.

MSTR 1

G1 = 177



G6 = NH G19 = OH

Patent location:

claim 1 Note: additional ring formation also claimed

Note: substitution is restricted

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 3 MARPAT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 140:199210 MARPAT

TITLE: Preparation of aminocyclohexene-substituted quinolines and their azaisosteric analogues with antibacterial

> activity Davies, David Thomas; Elder, John Stephen; Forrest,

INVENTOR(S):

Andrew Keith; Jarvest, Richard Lewis; Pearson, Neil

David; Sheppard, Robert John

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | K | IND DATE | | APPLI | CATION N |). D. | ATE | | |
|------------|----------|-----------|---------|---------|----------|-------|---------|-----|-----|
| | | | | | | | | | |
| WO 2004014 | 361 . | A1 2004 | 0219 | WO 200 | 03-EP815 | 3 2 | 0030723 | | |
| WO 2004014 | 361 . | A9 2004 | 0408 | | | | | | |
| W: AF | , AG, AL | , AM, AT, | AU, AZ, | BA, BB, | BG, BR, | BY, | BZ, CA, | CH, | CN, |
| CC | , CR, CU | , CZ, DE, | DK, DM, | DZ, EC, | EE, ES, | FI, | GB, GD, | GE, | GH, |

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             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
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             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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                                           AU 2003-251474
     EP 1539133
                       A1
                            20050615
                                           EP 2003-784064
                                                             20030723
     EP 1539133
                       В1
                            20060823
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     JP 2005538125
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                       Т
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     ES 2270142
                       Т3
                            20070401
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                                                             20030723
                                           US 2005-522058
     US 20060040925
                            20060223
                                                             20050714
                       A1
PRIORITY APPLN. INFO.:
                                           GB 2002-17294
                                                             20020725
                                            WO 2003-EP8153
                                                             20030723
```

AB Title compds. I [one of Z1-5 = N, one = CRla and the remainder are CH, etc.; R1-la = H, OH, (un)substituted alkoxy, etc.; R2 = H, (un)substituted-alkyl, -alkenyl; R3 = OH, alkoxy, alkenyloxy, etc.; R4 = alkyl, hydroxyalkyl, alkoxyalkyl, heterocycle, etc.; n = 0-1; AB = amido, carboxamido, acyl, etc.] and there pharmaceutically acceptable salts are prepd and disclosed as antibacterial agents. For instance, 4-amino-1-hydroxycyclohex-2-enecarboxylic acid N - (6-methoxy[1,5]naphthyridin-4-yl)amide (preparation given) is reductively alkylated with 3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxaldehyde to give II. II possessed an MIC of ≤ 2 µg/mL against S epidermidis CL7, S. aureus WCUB29, S. progenes CN10, H. influenzae ATCC 49247, E. faecalis 2, M. catarrhalis

Ι

II

```
Ravasio, and E. coli 7623.
MSTR 1
Ģ1—G2
G1
      = 9
    Ġ3
G2
     = 177
                 G42
    = 88
G13
g----G3
G15 = 182-1 183-176 / 184-1 185-176
1828-1819
          1819-1818
G16
      = bond
G19
     = 0
G24
    = NH
G42
      = 200
_G24-G25
Patent location:
                           claim 1
Note:
                           also incorporates claims 13 and 14
Note:
                           additional derivatization also claimed
Note:
                           substitution is restricted
L5 ANSWER 3 OF 3 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                        125:114325 MARPAT
```

Aryloxycycloalkenyl and aryloxyiminocycloalkenylhydrox

TITLE:

yureas as 5-lipoxygenase inhibitors

Kawai, Akiyoshi; Kawai, Makoto; Stevens, Rodney W. INVENTOR(S): PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. DATE |
|---------------------------|---------------------------|--|
| WO 9615106 | A1 19960523
JP, MX, US | WO 1995-IB399 19950526 |
| | | FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |
| CN CONFOCO | 71 10060E3 | CA 1995-2205033 19950526 |
| CA 2205033 | AI 19960523 | CA 1995-2205033 19950526 |
| CA 2203033 | 71 1007000 | EP 1995-918112 19950526 |
| EP 790981 | M1 19970027 | FF 1990-910117 19900270 |
| | | FR, GB, GR, IE, IT, LI, LU, NL, PT, SE |
| N: AI, DE, | T 1000001 | FR, GD, GR, IE, II, LI, LU, NL, FI, SE |
| EC 2135066 | T2 10001016 | AT 1995-918112 19950526
ES 1995-918112 19950526 |
| TM 100EDE010E0 | 3 20050211 | TN 100E DE10E0 100E100E |
| IN 1993DE01939 | A 20030313 | IL 1995—115853 19951102 IL 1995—115853 19951102 NO 1995—4530 19951109 AU 1995—37764 19951109 ER 1995—9512 19951109 ER 1995—2942 19951109 ER 1995—2942 19951109 ER 1995—2942 19951109 |
| NO 0504530 | A 10060513 | NO 1005-4520 10051100 |
| NO 9304330 | A 10060516 | NO 1995-4550 19951109 |
| AU 5557764 | P2 1000010 | A0 1995-37704 19951109 |
| 73 0500512 | 7 1007050 | 77 1005 0512 10051100 |
| BD 950512 | n 19970303 | DD 1995_5130 19951109 |
| C7 292932 | D6 10071015 | C7 1995-2942 19951109 |
| DIT 2119479 | C1 19971013 | RU 1995-119414 19951109 |
| KD 192321 | D1 1000051 | KR 1995-40425 19951109 |
| Pt. 179023 | B1 20000731 | DI. 1995-311325 19951109 |
| FT 9701994 | a 19970500 | PL 1995-311325 19951109
FI 1997-1994 19970509 |
| FI 113643 | B1 20040531 | 11 1357 1354 13570305 |
| GP 3031378 | T3 20010333 | GR 1999-402472 19990929 |
| DDTODITY ADDIN INFO | | WO 1994-JP1897 19941110 |
| 11.101.111 11111111 11110 | • | WO 1995-IB399 19950526 |
| OTHER SOURCE(S): | CASREACT 12 | |

The present invention provides preparation of title compds. I [Ar = Ph, naphthyl, biphenyl, each optionally substituted with C1-4 alkyl, C1-4 haloalkyl, C1-4 hydroxyalkyl, C1-4 alkoxy, C1-4 haloalkoxy, C2-4 alkoxyalkoxy, C1-4 alkylthio, hydroxy, halo, cyano, amino, C1-4 alkylamino, di(C2-8) alkylamino, C2-6 alkanoylamino, carboxy, C2-6

GI

alkoxycarbonyl, or optionally substituted Ph, phenoxy, phenylthio or phenylsulfinyl; furyl, benzo[b]furyl, thienyl, benzo[b]thienyl, pyridyl, quinolyl, each optionally substituted with C1-4 alkyl, C1-4 haloalkyl, halo, C1-4 alkoxy, optionally-substituted Ph, phenoxy or phenylthio, X = C1-C4 alkylene, C2-C4 alkenylene, -(CHR1)m-Q1-(CHR2)n-, -O-(CHR1)jQ2- and -(CHR1)-O-N; N moiety is attached to the cycloalkene ring; Q1 = 0, S, SO, SO2, NR3, CH:N-O, CO; O2 = O, S, SO, SO2, NR3; R1, R2, R3 = H, C1-C4 alkyl; m, n = 0-4; i = 1-4; p = 1, 2; Y = H, C1-4 alkyl, C1-4 haloalkyl, C1-4 alkoxy, C2-4 alkoxyalkyl, C1-4 alkylthio, hydroxy, halo, cyano, amino; Z = H, C1-4 alkyl; M = H, a pharmaceutically acceptable cation or a pharmaceutically acceptable metabolically cleavable group]. Further the invention provides a pharmaceutical composition for treating a medical condition for which a 5-lipoxygenase inhibitor is needed in a mammalian subject which comprises a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. Preferably the medical condition is an inflammatory disease, allergy or cardiovascular diseases. Thus, deprotection of N,O-bis(tert-butoxycarbonyl)-N-{(1R,4R)trans-4-(4-fluorophenoxy)-2-cyclopentyl-1-yl hydroxylamine (preparation given) with TFA gave hydroxylamine which on treatment with Me3SiNCO in THF gave title compound, N-{(1R,4R)-trans-4-(4-fluorophenoxy)-2-cyclopenten-1-v1}-Nhvdroxvurea.

MSTR 1

claim 1

Patent location:

Note:

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(FILE 'HOME' ENTERED AT 11:36:08 ON 30 JUL 2008)

FILE 'REGISTRY' ENTERED AT 11:36:22 ON 30 JUL 2008

L1 STRUCTURE UPLOADED L2 0 S L1 SAM

L3 18 S L1 FULL

FILE 'CA' ENTERED AT 11:36:48 ON 30 JUL 2008 L4 1 S L3

FILE 'MARPAT' ENTERED AT 11:37:03 ON 30 JUL 2008 L5 $$\rm 3\ S\ L1\ FULL$$

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 11:37:53 ON 30 JUL 2008